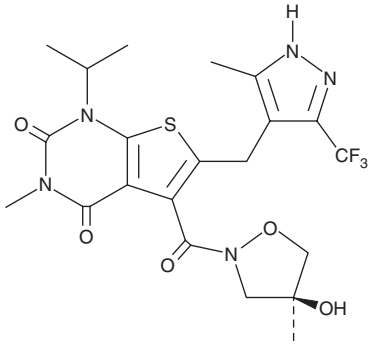
PRODUCT INFORMATION

AZD 3965

Item No. 19912

CAS Registry No.:	1448671-31-5
Formal Name:	5-[[(4S)-4-hydroxy-4-methyl-2-isoxazolidinyl]carbonyl]-3-methyl-1-(1-methylethyl)-6-[[5-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]methyl]-thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione
MF:	$C_{21}H_{24}F_3N_5O_5S$
FW:	515.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 228, 260 nm
Supplied as:	A crystalline solid
Storage:	20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AZD 3965 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 3965 in the solvent of choice, which should be purged with an inert gas. AZD 3965 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 3965 in ethanol is approximately 20 mg/ml and approximately 25 mg/ml in DMSO and DMF.

AZD 3965 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 3965 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AZD 3965 has a solubility of approximately 0.16 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AZD 3965 is a potent inhibitor of monocarboxylate transporter 1 (MCT1; K_i = 1.6 nM), killing tumor cells that are reliant on glycolysis by blocking lactate transport.[1] It displays six-fold selectivity for MCT1 over MCT2 and is without effect against MCT4 at 10 µM. AZD 3965 increases intratumor lactate levels and decreases tumor growth in mice bearing COR-L103 small cell lung cancer (SCLC) xenografts.[1] AZD 3965 also enhances radiosensitivity in mice with SCLC xenografts.[2]

References

1. Polanski, R., Hodgkinson, C. L., Fusi, A., *et al*. Activity of the monocarboxylate transporter 1 inhibitor AZD3965 in small cell lung cancer. *Clin. Cancer. Res* **20(4)** (2014).
2. Bola, B. M., Chadwick, A. L., Michopoulos, F., *et al*. Inhibition of monocarboxylate transporter-1 (MCT1) by AZD3965 enhances radiosensitivity by reducing lactate transport. Mol. Cancer. Ther. **13(12)**, 2805-2816 (2014).

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